

[Table 2]

| Example | X | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>           | R <sup>4</sup> | R <sup>7a</sup> | R <sup>7b</sup>  | R <sup>7c</sup>          | R <sup>7d</sup>                                       | comment    |
|---------|---|----------------|----------------|--------------------------|----------------|-----------------|--|--------------------------|---|------------|
| 53      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | MeSO <sub>2</sub> NH   | Me                       | Me  |            |
| 54      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | nBuSO <sub>2</sub> NH  | Me                       | Me  |            |
| 55      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | CF <sub>3</sub> (CH <sub>2</sub> ) <sub>2</sub> SO <sub>2</sub> NH | Me                       | Me  |            |
| 56      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | EtSO <sub>2</sub> NH   | Me                       | Me  |            |
| 57      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | nPrSO <sub>2</sub> NH  | Me                       | Me  |            |
| 58      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | OHCNH  | Me                       | H   |            |
| 59      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | OHCNH  | Me                       | Br  |            |
| 60      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | OHCNH  | Me                       | CHO   |            |
| 61      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | (CH <sub>2</sub> ) <sub>2</sub> CO <sub>2</sub> Et    |            |
| 62      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | (CH <sub>2</sub> ) <sub>2</sub> OH                    |            |
| 63      | O | H              | H              | 4-iPr-Ph                 | H              | Br              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 64      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | H                        | Me  |            |
| 65      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | Me   | t-BuCH <sub>2</sub> CONH | Me  |            |
| 66      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | Me   | Me                       | t-BuCH <sub>2</sub> CONH                              |            |
| 67      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | BzO(CH <sub>2</sub> ) <sub>2</sub> CONH                            | Me                       | Me  |            |
| 68      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   |                          | CH-CH-CH=CH   |            |
| 69      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   |                          | (CH <sub>2</sub> ) <sub>4</sub>                       |            |
| 70      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   |                          | (CH <sub>2</sub> ) <sub>3</sub>                       |            |
| 71      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | H   | s-form     |
| 72      | O | H              | H              | 3-MeO-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 73      | O | H              | H              | 3-(1,3-dioxolan-2-yl)-Ph | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 74      | O | H              | H              | 4-(Pr-2-MeO-Ph)          | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 75      | O | H              | H              | Ph                       | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 76      | O | H              | H              | 4-Me-Ph                  | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 77      | O | H              | H              | biphenyl                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 78      | O | H              | H              | 5-Me-2-Py                | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 79      | O | H              | H              | 4-Et-Ph                  | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 80      | O | H              | H              | 4-iBu-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 81      | O | H              | H              | 4-c Hex-Ph               | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 82      | O | H              | H              | 4-(1,3-dioxolan-2-yl)-Ph | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 83      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | CH-CH <sub>2</sub>                                    |            |
| 84      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | CH(OH)CH <sub>2</sub> OH                              |            |
| 85      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | (CH <sub>2</sub> ) <sub>2</sub> OH                    |            |
| 86      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | EtCO  |            |
| 87      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Br                       | Me  |            |
| 88      | O | H              | H              | 4-iPr-Ph                 | H              | OMe             | t-BuCH <sub>2</sub> CONH   | Me                       | Me  |            |
| 89      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | OMe                      | Me  |            |
| 90      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | CH(OH)(CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub> | less polar |
| 91      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | CH(OH)(CH <sub>2</sub> ) <sub>2</sub> CH <sub>3</sub> | more polar |
| 92      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | nBu   |            |
| 93      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> CONH                             | Me                       | Me  |            |
| 94      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | CH(OH)Ph  |            |
| 95      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | CH(OH)(4-iPr-Ph)                                      |            |
| 96      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | CH <sub>2</sub> Ph                                    |            |
| 97      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | CH <sub>2</sub> (4-iPr-Ph)                            |            |
| 98      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | COOH  |            |
| 99      | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | CN  |            |
| 100     | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Ac  |            |
| 101     | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | Ph  |            |
| 102     | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | 6-MeO-3-Py  |            |
| 103     | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | 4-MeO-Ph  |            |
| 104     | O | H              | H              | 4-iPr-Ph                 | H              | Me              | t-BuCH <sub>2</sub> CONH   | Me                       | 6-F-3-Py  |            |

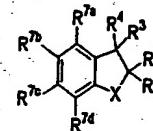
[Table 3]

| Example | X | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup> | R <sup>4</sup> | R <sup>7a</sup> | R <sup>7b</sup>   | R <sup>7c</sup> | R <sup>7d</sup>                    | comment      |
|---------|---|----------------|----------------|----------------|----------------|-----------------|---|-----------------|------------------------------------|--------------|
| 105     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-MeO-Ph                           |              |
| 106     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Ph              | Me                                 |              |
| 107     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-(AcNH)-Ph                        |              |
| 108     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-F-Ph                             |              |
| 109     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-NO <sub>2</sub> -Ph              |              |
| 110     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-(CO <sub>2</sub> Me)-Ph          |              |
| 111     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-AcPh                             |              |
| 112     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-(CO <sub>2</sub> Et)-Ph          |              |
| 113     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 4-Me-Ph                            |              |
| 114     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-Py                               |              |
| 115     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 4-Py                               |              |
| 116     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | B(OH) <sub>2</sub>                 |              |
| 117     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 2-Py                               |              |
| 118     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 5-Me-2-Py                          |              |
| 119     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 6-NH-2-Py                          |              |
| 120     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-(Me <sub>2</sub> N)-Ph           |              |
| 121     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 6-(AcNH)-2-Py                      |              |
| 122     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-NH <sub>2</sub> -Ph              |              |
| 123     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-(EtCONH)-Ph                      |              |
| 124     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 5-pyrimidinyl                      |              |
| 125     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 2-thiazoyl                         |              |
| 126     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-thienyl                          |              |
| 127     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 4-imidazolyl                       |              |
| 128     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 3-furyl                            |              |
| 129     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 2-pyrrolyl                         |              |
| 130     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 2-thienyl                          |              |
| 131     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 5-Ac-2-thienyl                     |              |
| 132     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 5-Ac-3-thienyl                     |              |
| 133     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | 4-Me-2-thiazoyl                    |              |
| 134     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | OH                                 | (R)-(+) form |
| 135     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | OH                                 |              |
| 136     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuCH <sub>2</sub> CONH                                | Me              | EtO                                |              |
| 137     | O | H              | H              | 4-iPr-Ph       | H              | Me              | t-BuOCONH   | Me              | Me                                 |              |
| 138     | O | H              | H              | 4-iPr-Ph       | H              | Me              | Cl <sub>3</sub> CCH <sub>2</sub> OCONH                  | Me              | Me                                 |              |
| 139     | O | H              | H              | 4-iPr-Ph       | H              | Me              | Cl <sub>3</sub> CCH <sub>2</sub> OCONH                  | Me              | Et                                 |              |
| 140     | O | H              | H              | 4-iPr-Ph       | H              | Me              | Cl <sub>3</sub> CCH <sub>2</sub> OCONH                  | Me              | OMe                                |              |
| 141     | O | H              | H              | 4-iPr-Ph       | H              | Me              | Cl <sub>3</sub> CCH <sub>2</sub> OCONH                  | Me              | (CH <sub>2</sub> ) <sub>3</sub> OH |              |
| 142     | O | H              | H              | 4-iPr-Ph       | H              | Me              | Cl <sub>3</sub> CCH <sub>2</sub> OCONH                  | Me              | Ph                                 |              |
| 143     | O | H              | H              | 4-iPr-Ph       | H              | Me              | C <sub>4</sub> H <sub>8</sub> NCONH                     | Me              | Me                                 |              |
| 144     | O | H              | H              | 4-iPr-Ph       | H              | Me              | Et <sub>2</sub> NCONH                                   | Me              | Me                                 |              |
| 145     | O | H              | H              | 4-iPr-Ph       | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH                | Me              | Me                                 |              |
| 146     | O | H              | H              | 4-iPr-Ph       | H              | Me              | MeO(CH <sub>2</sub> ) <sub>2</sub> NHCONH               | Me              | Me                                 |              |
| 147     | O | H              | H              | 4-iPr-Ph       | H              | Me              | Me <sub>2</sub> N(CH <sub>2</sub> ) <sub>2</sub> NHCONH | Me              | Me                                 |              |
| 148     | O | H              | H              | 4-iPr-Ph       | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH                | Me              | Et                                 |              |
| 149     | O | H              | H              | 4-iPr-Ph       | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH                | Me              | OMe                                |              |
| 150     | O | H              | H              | 4-iPr-Ph       | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH                | Me              | (CH <sub>2</sub> ) <sub>3</sub> OH |              |
| 151     | O | H              | H              | 4-iPr-Ph       | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH                | Me              | Me                                 |              |
| 152     | O | H              | H              | 4-iPr-Ph       | H              | Me              | nPrNHCONH   | Me              | Me                                 |              |
| 153     | O | H              | H              | 4-iPr-Ph       | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH                | Me              | Ph                                 |              |
| 154     | O | H              | H              | 4-iPr-Ph       | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH                | Me              | Ph                                 |              |
| 155     | O | H              | H              | 4-iPr-Ph       | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH                | Me              | Me                                 |              |
| 156     | O | H              | H              | 4-iPr-Ph       | H              | Me              | HOCH <sub>2</sub> C(Me) <sub>2</sub> NHCONH             | Me              | Me                                 |              |

[Table 4]

| Example | X               | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>                                   | R <sup>4</sup> | R <sup>7a</sup> | R <sup>7b</sup>   | R <sup>7c</sup> | R <sup>7d</sup> | R <sup>7e</sup> | R <sup>7f</sup> | comment    |
|---------|-----------------|----------------|----------------|--|----------------|-----------------|---|-----------------|-----------------|-----------------|-----------------|------------|
| 157     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | HOCH <sub>2</sub> C(Me) <sub>2</sub> NHCONH                 |                 |                 | Me              | Ph              |            |
| 158     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | HOCH <sub>2</sub> C(Me) <sub>2</sub> CH <sub>2</sub> NHCONH |                 |                 | Me              | Me              |            |
| 159     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | HOCH <sub>2</sub> C(Me) <sub>2</sub> CH <sub>2</sub> NHCONH |                 |                 | Me              | Ph              |            |
| 160     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | HOCH(Me)CH <sub>2</sub> NHCONH                              |                 |                 | Me              | Ph              |            |
| 161     | S               | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | H               |            |
| 162     | S               | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Br              |            |
| 163     | S               | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | CHO             |            |
| 164     | S               | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Et              |            |
| 165     | S               | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | nPr             |            |
| 166     | S               | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Ac              |            |
| 167     | S(O)            | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Et              |            |
| 168     | S(O)            | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Ac              |            |
| 169     | S(O)            | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Ac              | less polar |
| 170     | SO <sub>2</sub> | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Br              | more polar |
| 171     | SO <sub>2</sub> | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Ac              |            |
| 172     | SO <sub>2</sub> | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Et              |            |
| 173     | O               | H              | H              | 3-CHO-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 174     | O               | H              | H              | 4-CHO-Ph   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 175     | O               | H              | H              | 4-MeCH(OH)-Ph                                    | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 176     | O               | H              | H              | 4-Ac-Ph  | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 177     | O               | H              | H              | 3-EtOC(=O)CH=CHPh                                | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 178     | O               | H              | H              | 4-EtOC(=O)CH=CHPh                                | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 179     | O               | H              | H              | 4-EtOC(=O)CH=C(Me)Ph                             | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 180     | O               | H              | H              | 3-EtOC(=O)CH <sub>2</sub> Ph                     | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 181     | O               | H              | H              | 4-EtOC(=O)CH <sub>2</sub> Ph                     | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 182     | O               | H              | H              | 4-EtOC(=O)CH <sub>2</sub> CH(Me)Ph               | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 183     | O               | H              | H              | 4-Ac-3-MeOPh                                     | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 184     | O               | H              | H              | 4-(H <sub>2</sub> C=C(Me))-3-MeOPh               | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 185     | O               | H              | H              | 4-iPr-3-MeOPh                                    | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 186     | O               | H              | H              | 4-iPr-3-(HO)Ph                                   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 187     | O               | H              | H              | 4-iPr-2-(HO)Ph                                   | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 188     | O               | H              | H              | 4-iPr-3-(EtOC(O)CH <sub>2</sub> O)Ph             | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 189     | O               | H              | H              | 4-iPr-3-(MeCO(O)CH <sub>2</sub> O)Ph             | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 190     | O               | H              | H              | 4-iPr-2-(EtOC(O)CH <sub>2</sub> O)Ph             | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 191     | O               | H              | H              | 4-iPr-3-(MeO(CH <sub>2</sub> ) <sub>2</sub> O)Ph | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 192     | O               | H              | H              | 4-iPr-2-(MeO(CH <sub>2</sub> ) <sub>2</sub> O)Ph | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 193     | O               | H              | H              | 4-iPr-3-(HO(CH <sub>2</sub> ) <sub>2</sub> O)Ph  | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 194     | O               | H              | H              | 3-HO(CH <sub>2</sub> ) <sub>2</sub> Ph           | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 195     | O               | H              | H              | 4-HO(CH <sub>2</sub> ) <sub>2</sub> Ph           | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 196     | O               | H              | H              | 4-HO(CH <sub>2</sub> ) <sub>2</sub> CH(Me)Ph     | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 197     | O               | H              | H              | 4-iPr-2-(HO(CH <sub>2</sub> ) <sub>2</sub> O)Ph  | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 198     | O               | H              | H              | 4-HOC(=O)CH <sub>2</sub> CH(Me)Ph                | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 199     | O               | H              | H              | 4-Me <sub>2</sub> C(OH)Ph                        | H              | Me              | t-BuCH <sub>2</sub> CONH                                    |                 |                 | Me              | Me              |            |
| 200     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | CF <sub>3</sub> (CH <sub>2</sub> ) <sub>2</sub> CONH        |                 |                 | Me              | Me              |            |
| 201     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | Me <sub>2</sub> NCH <sub>2</sub> CONH                       |                 |                 | Me              | Me              |            |
| 202     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuCONH  |                 |                 | Me              | Me              |            |
| 203     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | NHCHO   |                 |                 | Me              | Ac              |            |
| 204     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuNHCONH  |                 |                 | Me              | Ac              |            |
| 205     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | (c-Hex)NHCONH   |                 |                 | Me              | Me              |            |
| 206     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | Cl <sub>3</sub> CCH <sub>2</sub> OCONH                      |                 |                 | Me              | Ac              |            |
| 207     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | HO(CH <sub>2</sub> ) <sub>2</sub> NHCONH                    |                 |                 | Me              | Ac              |            |
| 208     | O               | H              | H              | 4-iPr-Ph   | H              | Me              | t-BuNHCONH  |                 |                 | Me              | CH(OH)Me        | more polar |

[Table 6]



| Example | X | R <sup>1</sup> | R <sup>2</sup> | R <sup>3</sup>                      | R <sup>4</sup> | R <sup>7a</sup> | R <sup>7b</sup>  | R <sup>7c</sup> | R <sup>7d</sup>          | comment |
|---------|---|----------------|----------------|-------------------------------------|----------------|-----------------|--|-----------------|--------------------------|---------|
| 261     | O | Me             | Me             | 4-Me-Ph                             | OH             | Me              | t-BuOCONH  | Me              | Me                       |         |
| 262     | O | Me             | Me             | 4-iPr-Ph                            | OH             | Me              | t-BuOCONH  | Me              | Me                       |         |
| 263     | O | Me             | Me             | 2-naph                              | OH             | Me              | t-BuOCONH  | Me              | Me                       |         |
| 264     | O | Me             | Me             | 3-CHO-Ph                            | OH             | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 265     | O | Me             | Me             | 3-(CH <sub>2</sub> OH)-Ph           | OH             | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 266     | O | Me             | Me             | 3-(CH(Me)OH)-Ph                     | OH             | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 267     | O | Me             | Me             | 4-Me-Ph                             | OH             | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 268     | O | Me             | Me             | 2-naph                              | OH             | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 269     | O | Me             | Me             | 2-naph                              | OH             | Me              | CH <sub>2</sub> CH(CH <sub>3</sub> )CH <sub>2</sub> CONH | Me              | Me                       |         |
| 270     | O | Me             | Me             | 2-naph                              | OH             | Me              | t-BuNHCONH   | Me              | Me                       |         |
| 271     | O | Me             | Me             | 2-Me-Ph                             | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 272     | O | Me             | Me             | 3-Me-Ph                             | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 273     | O | Me             | Me             | 3-iPr-Ph                            | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 274     | O | Me             | Me             | Ph                                  | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 275     | O | Me             | Me             | 2-naph                              | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 276     | O | Me             | Me             | 2-MeO-Ph                            | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 277     | O | Me             | Me             | Bz                                  | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 278     | O | Me             | Me             | 4-iPr-Bz                            | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 279     | O | Me             | Me             | 2-thienyl                           | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 280     | O | Me             | Me             | 2-CF <sub>3</sub> O-Ph              | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 281     | O | Me             | Me             | n-Bu                                | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 282     | O | Me             | Me             | 2-furyl                             | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 283     | O | Me             | Me             | (CH <sub>2</sub> ) <sub>2</sub> -Ph | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 284     | O | Me             | Me             | 4-Br-Ph                             | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 285     | O | Me             | Me             | 4-MeO-Ph                            | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 286     | O | Me             | Me             | 2,4-MeO-Ph                          | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 287     | O | Me             | Me             | c-Hex                               | H              | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 288     | O | Me             | Me             | 2-Py                                | H              | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 289     | O | Me             | Me             | 4-MeO-Ph                            | H              | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 290     | O | Me             | Me             | 3-MeO-Ph                            | H              | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 291     | O | Me             | Me             | 4-iPr-Ph                            | H              | Me              | Me   | Me              | t-BuCH <sub>2</sub> CONH |         |
| 292     | O | Me             | Me             | 4-CHO-Ph                            | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 293     | O | Me             | Me             | 4-Ac-Ph                             | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 294     | O | Me             | Me             | 4-(CH <sub>2</sub> OH)-Ph           | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 295     | O | Me             | Me             | 4-(CH(Me)OH)-Ph                     | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 296     | O | Me             | Me             | 2-iPr-Ph                            | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 297     | O | Me             | Me             | 1-piperidyl                         | H              | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 298     | O | Me             | Me             | 1-pyrrolidinyl                      | H              | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 299     | O | Me             | Me             | NHPh                                | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 300     | O | Me             | Me             | NH-(2-MeO-Ph)                       | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 301     | O | Me             | Me             | NH-(2-CF <sub>3</sub> -Ph)          | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 302     | O | Me             | Me             | 1-pyrrolidinyl                      | H              | Me              | t-BuOCONH  | Me              | Br                       |         |
| 303     | O | Me             | Me             | Me <sub>2</sub> N                   | H              | Me              | t-BuOCONH  | Me              | Br                       |         |
| 304     | O | Me             | Me             | 4-iPr-Ph                            | H              | Me              | t-BuOCONH  | Me              | Me                       |         |
| 305     | O | Me             | Me             | 4-Me-Ph                             | H              | Me              | t-BuOCONH  | Me              | Me                       |         |
| 306     | O | Me             | Me             | H                                   | H              | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | Me                       |         |
| 307     | O | Me             | Me             | 1-pyrrolidinyl                      | H              | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | 4-iPr-Bz                 |         |
| 308     | O | Me             | Me             | Me <sub>2</sub> N                   | H              | Me              | t-BuCH <sub>2</sub> CONH                                 | Me              | 4-iPr-Bz                 |         |
| 309     | O | Me             | Me             | 4-Me-Ph                             | H              | H               | t-BuCH <sub>2</sub> CONH                                 | Me              | 4-iPr-Bz                 |         |
| 310     | O | Me             | Me             | 4-Me-Ph                             | H              | Me              | n-PrCONH   | Me              | Me                       |         |
| 311     | O | Me             | Me             | 4-Me-Ph                             | H              | Me              | n-BuCONH   | Me              | Me                       |         |
| 312     | O | Me             | Me             | 4-Me-Ph                             | H              | Me              | n-PenCONH  | Me              | Me                       |         |

[Table 7]

| Example | X | R <sup>1</sup>                  | R <sup>2</sup>                   | R <sup>3</sup> | R <sup>4</sup> | R <sup>7a</sup> | R <sup>7b</sup>                                     | R <sup>7c</sup>          | R <sup>7d</sup>          | R <sup>7e</sup>                                    | R <sup>7f</sup> | comment |
|---------|---|---------------------------------|----------------------------------|----------------|----------------|-----------------|---|--------------------------|--------------------------|--|-----------------|---------|
| 313     | O | Me                              | Me                               | 4-F-Ph         | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | Me              |         |
| 314     | O | Me                              | Me                               | Ph             | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | Me              |         |
| 315     | O | Me                              | Me                               | 4-Br-Ph        | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | Me              |         |
| 316     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | Me              |         |
| 317     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | H               | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | Me              |         |
| 318     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | Me              |         |
| 319     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | Me              | t-BuCH <sub>2</sub> CONH                            | H                        | Me                       | H  | Me              |         |
| 320     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | H               | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | H  |                 |         |
| 321     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | H               | n-PrCONH  | H                        | H                        | H  | H               |         |
| 322     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | Me              | n-PrCONH  | Me                       | Me                       | Me   | Me              |         |
| 323     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | Me              | n-BuCONH  | Me                       | Me                       | Me   | Me              |         |
| 324     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | Me              |         |
| 325     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | Me              | Me  | t-BuCH <sub>2</sub> CONH | Me                       | Me   | Me              |         |
| 326     | O | Me                              | Me                               | Bz             | H              | Me              | Me  | t-BuCH <sub>2</sub> CONH | Me                       | Me   | Me              |         |
| 327     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | H               | Me  | t-BuCH <sub>2</sub> CONH | Me                       | t-BuCH <sub>2</sub> CONH                           | Me              |         |
| 328     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | Me              | MeO   | Me                       | t-BuCH <sub>2</sub> CONH | t-BuCH <sub>2</sub> CONH                           |                 |         |
| 329     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | H               | t-BuCH <sub>2</sub> CON(Me)                         | H                        | H                        | H  | H               |         |
| 330     | O | Me                              | Me                               | 4-i-Pr-Ph      | H              | Me              | (4-morpholinyl)(CH <sub>2</sub> ) <sub>2</sub> CONH | Me                       | Me                       | Me   | Me              |         |
| 331     | O | Me                              | H                                |                | H              | H               | t-BuOCONH   | Me                       | Me                       | H  |                 |         |
| 332     | O | Me                              | H                                |                | H              | H               | t-BuOCONH   | Me                       | Me                       | Br   |                 |         |
| 333     | O | Me                              | H                                |                | H              | H               | t-BuOCONH   | Me                       | Me                       | 4-i-Pr-Ph-CH(OH)                                   |                 |         |
| 334     | O | Me                              | H                                |                | H              | H               | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 4-i-Pr-Bz  |                 |         |
| 335     | O | Me                              | CH <sub>2</sub> OH               |                | H              | H               | Me  | t-BuCH <sub>2</sub> CONH | Me                       | Me   | 4-i-Pr-Bz       |         |
| 336     | O | Me                              | CH <sub>2</sub> I                |                | H              | H               | Me  | t-BuCH <sub>2</sub> CONH | Me                       | Me   | 4-i-Pr-Bz       |         |
| 337     | O | Me                              | CH <sub>2</sub> (1-pyrrolidinyl) |                | H              | H               | Me  | t-BuCH <sub>2</sub> CONH | Me                       | Me   | 4-i-Pr-Bz       |         |
| 338     | O | Me                              | Me                               | OH             | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | H  |                 |         |
| 339     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | H  |                 |         |
| 340     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | CHO  |                 |         |
| 341     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 4-i-Pr-Ph-CH(OH)                                   |                 |         |
| 342     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 4-i-Pr-Ph-CO                                       |                 |         |
| 343     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Br   |                 |         |
| 344     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 4-i-Pr-Ph-O  |                 |         |
| 345     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 4-Me-Ph-O  |                 |         |
| 346     | O | Me                              | Me                               | OH             | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 4-i-Pr-Bz  |                 |         |
| 347     | O | Me                              | Me                               | OH             | Me             | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 4-i-Pr-Bz  |                 |         |
| 348     | O | (CH <sub>2</sub> ) <sub>4</sub> | 4-i-Pr-Ph                        | H              | Me             |                 | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   |                 |         |
| 349     | O | Me                              | H                                | 4-i-Pr-Ph      | H              | H               | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | cis form        |         |
| 350     | O | Me                              | H                                | 4-i-Pr-Ph      | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | cis form        |         |
| 351     | O | Me                              | H                                | 4-i-Pr-Ph      | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Me   | trans form      |         |
| 352     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | (2-Py)CH(OH)                                       |                 |         |
| 353     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 4-i-Pr-Ph-CH <sub>2</sub> CH(OH)                   |                 |         |
| 354     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 4-i-Pr-Ph-(CH <sub>2</sub> ) <sub>2</sub> PHCH(OH) |                 |         |
| 355     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | Bz   |                 |         |
| 356     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 2-Me-Bz  |                 |         |
| 357     | O | Me                              | Me                               | H              | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | 2-furyl  | R-form          |         |
| 358     | O | H                               | H                                | 4-i-Pr-Ph      | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       | benzoyl  | R-form          |         |
| 359     | O | H                               | H                                | 4-i-Pr-Ph      | H              | Me              | t-BuCH <sub>2</sub> CONH                            | Me                       | Me                       |  |                 |         |